* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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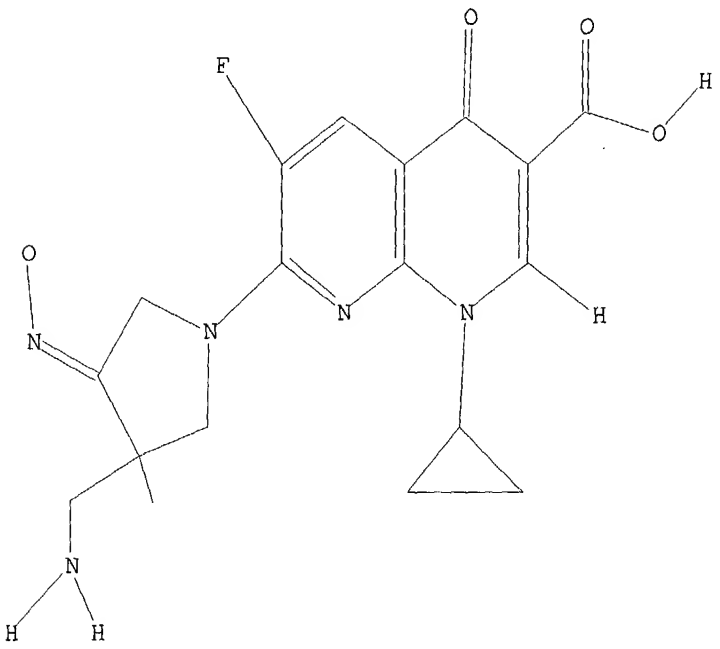

Structure attributes must be viewed using STN Express query preparation.

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L3 5 SEA SSS FUL L1

=> file ca

=> s l3
L4 1 L3

=> d ibib abs hitstr

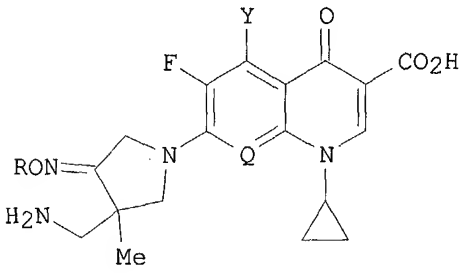
L4 ANSWER 1 OF 1 CA COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 134:17486 CA
TITLE: Preparation of optically active 7-(pyrrolidin-1-yl)quinolinecarboxylates and -naphthyridinecarboxylates as antibacterials.
INVENTOR(S): Yoon, Sung June; Chung, Yong Ho; Lee, Chi Woo; Lee, Jin Soo; Kim, Nam Doo; Jin, Yoon Ho; Song, Wan Jin; Kim, Ik Hoe; Yang, Wang Yong; Choi, Dong Rack; Shin, Jung Han
PATENT ASSIGNEE(S): Dong Wha Pharm. Ind. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071541	A1	20001130	WO 2000-KR487	20000518
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1187835	A1	20020320	EP 2000-927899	20000518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500406	T2	20030107	JP 2000-619797	20000518
AU 757272	B2	20030213	AU 2000-46209	20000518
US 6649763	B1	20031118	US 2001-979644	20011116

PRIORITY APPLN. INFO.: KR 1999-18158 A 19990520
KR 2000-24657 A 20000509
WO 2000-KR487 W 20000518

OTHER SOURCE(S): MARPAT 134:17486
GI



I

AB Title compds. (I; Q = CH, CF, CCl, N; Y = H, NH2; R = alkyl, allyl, PhCH2), were prepd. Thus, (+)-7-(4-aminomethyl-4-methyl-3-oxopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid hydrochloride (prepn. given) was stirred with methoxylamine hydrochloride in pyridine for 4 h to give 97.5% (-)-7-(4-aminomethyl-4-methyl-3-(Z)-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid hydrochloride. This showed a min. inhibitory concn. of 0.025 .mu.g/mL against Streptococcus pyogenes 308A.

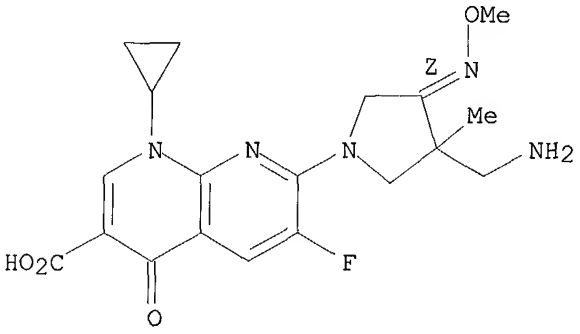
IT **309762-48-9P 309762-49-0P 309762-50-3P**
309762-51-4P 309762-52-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of optically active 7-(pyrrolidin-1-yl)quinolinecarboxylates and -naphthyridinecarboxylates as antibacterials)

RN 309762-48-9 CA

CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-

(methoxyimino)-3-methyl-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride, (-)- (9CI) (CA INDEX NAME)

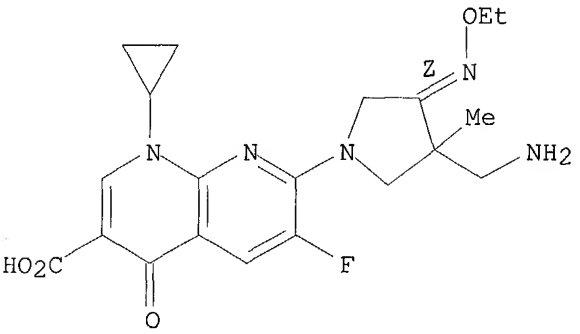
Rotation (-).
Double bond geometry as shown.



● HCl

RN 309762-49-0 CA
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-(ethoxyimino)-3-methyl-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

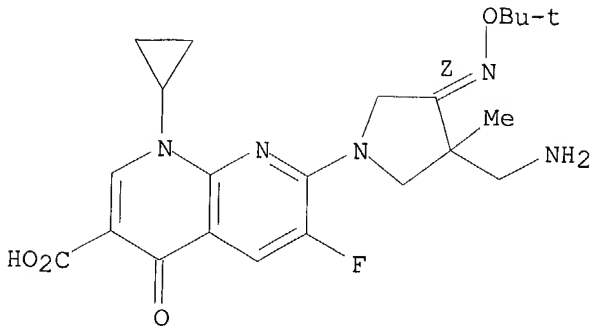
Rotation (+).
Double bond geometry as shown.



● HCl

RN 309762-50-3 CA
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-[(1,1-dimethylethoxy)imino]-3-methyl-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

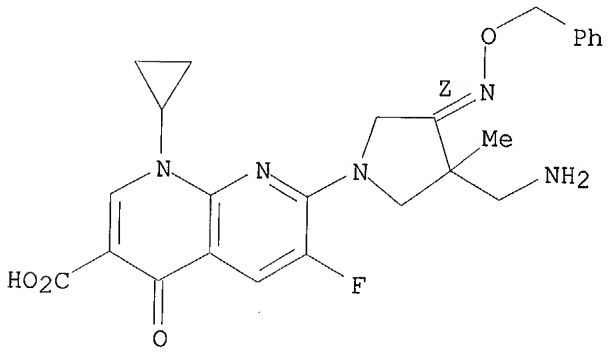
Rotation (+).
Double bond geometry as shown.



● HCl

RN 309762-51-4 CA
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-3-methyl-4-[(phenylmethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

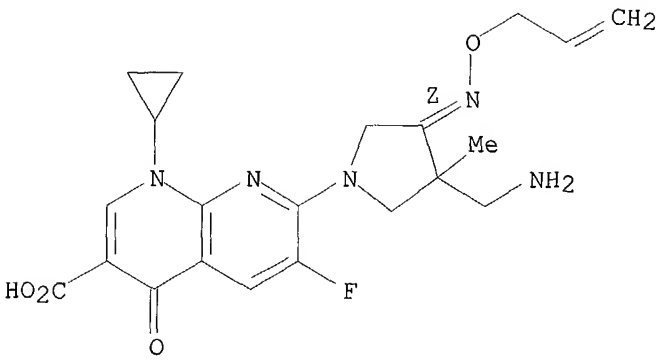
Rotation (+).
Double bond geometry as shown.



● HCl

RN 309762-52-5 CA
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-3-methyl-4-[(2-propenyloxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).
Double bond geometry as shown.



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file uspatfull

=> s l3
L5 1 L3

=> d ibib abs

L5 ANSWER 1 OF 1 USPATFULL on STN
ACCESSION NUMBER: 2003:302937 USPATFULL
TITLE: Optically active quinoline carboxylic acid derivatives with 7-pyrrolidine substituents causing optical activity and a process for the preparation thereof
INVENTOR(S): Yoon, Sung June, Seoul, KOREA, REPUBLIC OF
Chung, Yong Ho, Kyunggi-do, KOREA, REPUBLIC OF
Lee, Chi Woo, Kyunggi-do, KOREA, REPUBLIC OF
Lee, Jin Soo, Kyunggi-do, KOREA, REPUBLIC OF
Kim, Nam Doo, Inchon-si, KOREA, REPUBLIC OF
Jin, Yoon Ho, Seoul, KOREA, REPUBLIC OF
Song, Wan Jin, Seoul, KOREA, REPUBLIC OF
Kim, Ik Hoe, Suwon-si, KOREA, REPUBLIC OF
Yang, Wang Yong, Kyunggi-do, KOREA, REPUBLIC OF
Choi, Dong Rack, Kyunggi-do, KOREA, REPUBLIC OF
Shin, Jung Han, Kyunggi-do, KOREA, REPUBLIC OF
PATENT ASSIGNEE(S): Dong Wha Pharm. Ind. Co., Ltd., KOREA, REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6649763	B1	20031118	
	WO 2000071541		20001130	
APPLICATION INFO.:	US 2001-979644		20011116	(9)
	WO 2000-KR487		20000518	

	NUMBER	DATE
PRIORITY INFORMATION:	KR 1999-18158	19990520

Parent

KR 2000-24657 20000509
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Morris, Patricia L.
LEGAL REPRESENTATIVE: Muserlian, Lucas and Mercanti, LLP
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1332
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to optically active quinoline carboxylic acid derivatives, their pharmaceutically acceptable salts, their solvates, and a process for the preparation thereof. More specifically, the present invention relates to optically active quinoline carboxylic acid derivatives containing 4-aminomethyl-4-methyl-3-(Z)-alkoxyirninopyrrolidine substituents causing optical activity at the 7-position of the quinolone nuclei. As the compounds of the present invention have superior antibacterial activity and pharmacokinetic profiles to their enantiomers, their racemates and conventional antibacterial agents, with nearly no phototoxicity, the compounds of this invention are useful for antibacterial agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> file marpat

=> s l1 full
L6 2 SEA SSS FUL L1

=> d ibib abs fqhit 1-2

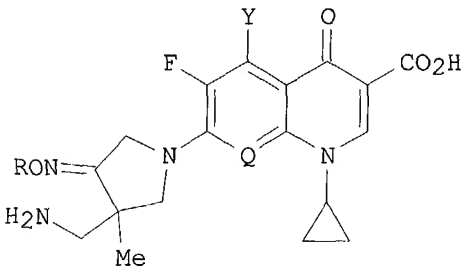
L6 ANSWER 1 OF 2 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 134:17486 MARPAT
TITLE: Preparation of optically active 7-(pyrrolidin-1-yl)quinolinecarboxylates and -naphthyridinecarboxylates as antibacterials.
INVENTOR(S): Yoon, Sung June; Chung, Yong Ho; Lee, Chi Woo; Lee, Jin Soo; Kim, Nam Doo; Jin, Yoon Ho; Song, Wan Jin; Kim, Ik Hoe; Yang, Wang Yong; Choi, Dong Rack; Shin, Jung Han
PATENT ASSIGNEE(S): Dong Wha Pharm. Ind. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071541	A1	20001130	WO 2000-KR487	20000518

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1187835	A1	20020320	EP 2000-927899	20000518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500406	T2	20030107	JP 2000-619797	20000518
AU 757272	B2	20030213	AU 2000-46209	20000518
US 6649763	B1	20031118	US 2001-979644	20011116
PRIORITY APPLN. INFO.:			KR 1999-18158	19990520
			KR 2000-24657	20000509
			WO 2000-KR487	20000518

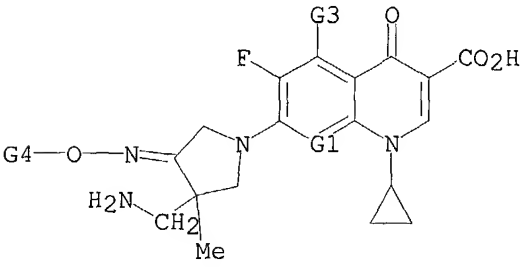
GI



I

AB Title compds. (I; Q = CH, CF, CCl, N; Y = H, NH2; R = alkyl, allyl, PhCH2), were prepd. Thus, (+)-7-(4-aminomethyl-4-methyl-3-oxopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid hydrochloride (prepn. given) was stirred with methoxylamine hydrochloride in pyridine for 4 h to give 97.5% (-)-7-(4-aminomethyl-4-methyl-3-(Z)-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid hydrochloride. This showed a min. inhibitory concn. of 0.025 .mu.g/mL against Streptococcus pyogenes 308A.

MSTR 1



G1 = N
MPL: claim 1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 127:50548 MARPAT

10/600,631

TITLE: Preparation of aminomethylpyrrolidine derivatives as bactericides
INVENTOR(S): Takemura, Makoto; Kimura, Yoichi; Kawakami, Katsuhiro; Sugita, Kazuyuki; Oki, Hitoshi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

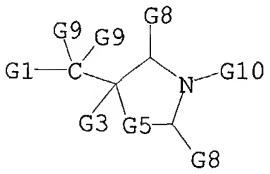
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09136886	A2	19970527	JP 1995-296643	19951115
PRIORITY APPLN. INFO.:			JP 1995-296643	19951115

GI

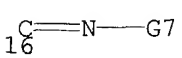
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R2 = H, (un)substituted C1-6 alkyl, etc.; R3-R5 = H, OH, halo, CONH2, C1-6 alkyl, etc.; R6-R9 = H, C1-6 alkyl; R10 = C1-6 alkyl, C2-6 alkenyl, etc.; R11 = H, C1-6 alkylthio, etc.; R12 = H, OH, NH2, C1-6 alkyl, C2-6 alkenyl, etc.; A1 = CX2; X2 = H, NH2, halo, halomethyl, etc.] are prepd. as bactericides. Thus, quinoline deriv. (II) (prepn. given) was reacted with pyrrolidine deriv. (III) (prepn. given) in the presence of Et3N and then treated with citric acid to give the title compd. (IV). IV showed MIC of .ltoreq. 0.003 .mu.g/mL when tested on S. aureus, 209P.

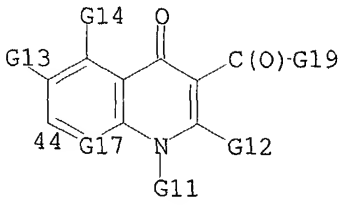
MSTR 1



G3 = CONH2
G5 = 16



G7 = OH
G10 = 44



G11 = cyclopropyl (SR (1-) G24)
G13 = X
G17 = N
G19 = OH
DER: and salts
MPL: claim 1

=> d his

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FILE 'REGISTRY' ENTERED AT 09:48:33 ON 16 DEC 2003
L1 STRUCTURE UPLOADED
L2 1 S L1 SAM
L3 5 S L1 FULL

FILE 'CA' ENTERED AT 09:49:11 ON 16 DEC 2003
L4 1 S L3

FILE 'USPATFULL' ENTERED AT 09:49:43 ON 16 DEC 2003
L5 1 S L3

FILE 'MARPAT' ENTERED AT 09:49:52 ON 16 DEC 2003
L6 2 S L1 FULL

=>

---Logging off of STN---

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Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 09:50:23 ON 16 DEC 2003